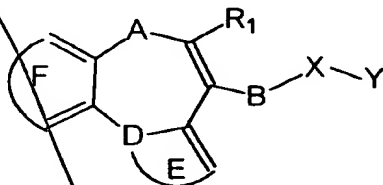


## CLAIMS

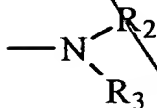
1. A pharmaceutical composition comprising an apoptosis-inducing amount of a  
5 compound having the general formula (I):-



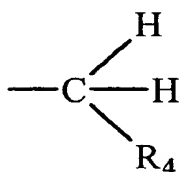
- wherein (i)  $R_1$  represents unsubstituted straight chain  $C_1$ - $C_{10}$ , or branched  $C_1$ - $C_{10}$  alkyl  
or unsubstituted  $C_3$ - $C_{10}$  cycloalkyl; or straight chain or branched  $C_1$ - $C_{10}$  alkyl  
10 substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or  
 $C_3$ - $C_{10}$  cycloalkyl substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or  
unsubstituted straight chain, or branched  $C_2$ - $C_{10}$  alkenyl or unsubstituted  $C_3$ - $C_{10}$   
cycloalkenyl; or straight chain or branched  $C_2$ - $C_{10}$  alkenyl substituted with one or more  
of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or  $C_2$ - $C_{10}$  cycloalkenyl substituted with one or more of  
15 F, Br, Cl, I,  $F_3C$ , MeO, EtO; or an unsubstituted  $C_6$ - $C_{20}$  aryl group or a  $C_6$ - $C_{20}$  aryl  
group substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO, phenyl, phenoxy,  
 $CH_2$  phenyl, naphthyl; and  
(ii) A represents N, O, S or the group  $CH_2$ ; and  
(iii) B represents O, or the group  $CH_2$ ; and  
20 (iv) D represents N and  
the cyclic group labelled E is taken together with D to form a pyrrole, imidazole or  
indole ring or a pyrrole substituted with methyl, chloryl or formyl preferably at the 2-  
position; and  
the group formed by E and D together is optionally substituted by one or more of the  
25 substituents F, Br, Cl, I,  $F_3C$ , MeO, EtO; and  
(v) wherein the cyclic group labelled F represents an unsubstituted  $C_6$ - $C_{20}$  aryl group or  
a  $C_6$ - $C_{20}$  aryl group substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; and  
wherein

(vi) X represents a group C=O, C=S, P=O or CH<sub>2</sub>; and when X is P=O, R<sub>2</sub> and R<sub>3</sub> are O-Me and/or -O-Et;

(vii) and wherein Y represents the group



- 5 wherein R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or unsubstituted straight chain C<sub>1</sub>-C<sub>10</sub>, or branched C<sub>1</sub>-C<sub>10</sub> alkyl or unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkyl, or straight chain or branched C<sub>1</sub>-C<sub>10</sub> alkyl substituted with one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO; or C<sub>3</sub>-C<sub>10</sub> cycloalkyl substituted with one or more of Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>n</sub> where n=1 or 2; or unsubstituted straight chain, or branched C<sub>2</sub>-C<sub>10</sub> alkenyl; or
- 10 unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, or straight chain or branched C<sub>2</sub>-C<sub>10</sub> alkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>alkyl)<sub>n</sub> where n=1 or 2; or C<sub>2</sub>-C<sub>10</sub> cycloalkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>)<sub>n</sub> where n=1 or 2; or R<sub>2</sub> and R<sub>3</sub> can be taken together with the nitrogen atom to which they are bonded to form a heterocycle optionally containing one or more other heteroatoms
- 15 selected from O, N or S and optionally substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, methyl, F, Br, Cl, I, F<sub>3</sub>C, MeO or EtO;
- or Y represents the group



- wherein R<sub>4</sub> is (CH<sub>2</sub>)<sub>n</sub> CH<sub>3</sub> where n is zero or an integer from 1 to 12;
- 20 and R<sub>4</sub> is optionally substituted with F, Br, Cl, I, F<sub>3</sub>C, MeO or EtO;
- or Y represents unsubstituted straight chain C<sub>1</sub>-C<sub>10</sub>, or branched C<sub>1</sub>-C<sub>10</sub> alkyl or unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkyl, or straight chain or branched C<sub>1</sub>-C<sub>10</sub> alkyl substituted with one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO; or C<sub>3</sub>-C<sub>10</sub> cycloalkyl substituted with one or more of Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>n</sub> where n=1 or 2; or unsubstituted
- 25 straight chain, or branched C<sub>2</sub>-C<sub>10</sub> alkenyl; or unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkenyl,

or straight chain or branched C<sub>2</sub>-C<sub>10</sub> alkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>alkyl)<sub>n</sub> where n=1 or 2; or C<sub>2</sub>-C<sub>10</sub> cycloalkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>)<sub>n</sub> where n=1 or 2.

5 2. A composition according to claim 1 wherein R<sub>1</sub> is an unsubstituted phenyl group; or phenyl substituted by one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO, phenyl, phenoxy, CH<sub>2</sub> phenyl; or unsubstituted naphthyl or naphthyl substituted with one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO and if substituted the naphthyl group preferably being substituted at the 1- or 2- position or at both positions; or an unsubstituted five  
10 or six membered heterocyclic group with at least one heteroatom and wherein the, or each heteroatom is selected from N, O or S; or a five or six membered heterocyclic group with at least one heteroatom and wherein the or each heteroatom is selected from N, O, S, the heterocyclic group being substituted with one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO and preferably the heterocyclic group being selected from 2- and 3-  
15 pyridine, pyrrole, or thiophene.

3. A composition according to any preceding claim wherein the group F is an unsubstituted phenyl group or phenyl substituted by one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO; or unsubstituted naphthyl or naphthyl substituted with one or more of F,  
20 Br, Cl, I, F<sub>3</sub>C, MeO, EtO; or an unsubstituted five or six membered heterocyclic group with at least one hetero atom and wherein the, or each heteroatom is selected from N, O or S; or a five or six membered heterocyclic group with at least one heteroatom and wherein the or each heteroatom is selected from N, O, S, the heterocyclic group being substituted with one or more of C<sub>1</sub>-C<sub>4</sub> alkyl groups, F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO and  
25 preferably the heterocyclic group being selected from 2- and 3- pyridine, pyrrole, or thiophene.

4. A composition as claimed in claim 1 or claim 2 in which R<sub>1</sub> and/or F represent a naphthyl group.

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5. A composition as claimed in any preceding claim in which R<sub>1</sub> represents straight chain or branched substituted or unsubstituted C<sub>2</sub>-C<sub>10</sub> alkyl, substituted or unsubstituted

C<sub>3</sub>-C<sub>7</sub> cycloalkyl more preferably C<sub>3</sub>-C<sub>4</sub> cycloalkyl, C<sub>5</sub>-C<sub>7</sub> cycloalkenyl which may or may not be substituted, substituted or unsubstituted C<sub>6</sub>-C<sub>14</sub> aryl.

6. A composition as claimed in any preceding claim wherein the cyclic group F represents substituted or unsubstituted C<sub>6</sub>-C<sub>14</sub> aryl group.

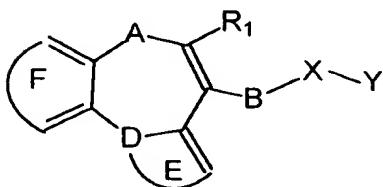
7. A composition as claimed in any preceding claim wherein Y represents a branched C<sub>2</sub>-C<sub>10</sub> alkyl group, a C<sub>3</sub>-C<sub>7</sub> cycloalkyl group, an unsubstituted or substituted C<sub>5</sub>-C<sub>7</sub> cycloalkenyl group.

8. A composition as claimed in claim 1 wherein the compound is selected from those having the formulae:-

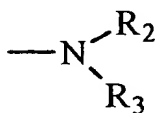
PBOX-1, PBOX-2, PBOX-3, PBOX-4, PBOX-5, PBOX-6, PBOX-7, PBOX-8, PBOX-9, PBOX-10, PBOX-11, PBOX-12, PBOX-13, PBOX-14, PBOX-15, PBOX-16, PBOX-17, PBOX-18, PBOX-19, PBOX-20, PBOX-21, PBOX-22, PBOX-23, PBOX-24, PBOX-25, PBOX-26, PBOX-27, PBOX-28, PBOX-29, PBOX-30, PBOX-31, PBOX-32, PBOX-33, PBOX-34, PBOX-35, PBOX-36, PBOX-37, PBOX-38, PBOX-39, PBOX-40, PBOX-41, PBOX-42, PBOX-43, PBOX-44, PBOX-45, PBOX-46, PBOX-47, PBOX-48, PBOX-49, PBOX-50, PBOX-51, PBOX-52, PBOX-53, PBOX-54, PBOX-55, PBOX-56, PBOX-57, PBOX-58, PBOX-59, PBOX-60, PBOX-61, PBOX-62, PBOX-63, PBOX-64 as defined herein.

9. A composition as claimed in claim 1 wherein the compound is selected from those having the formulae:- PBOX-3, PBOX-4, PBOX-5, PBOX-6, PBOX-7, PBOX-8, PBOX-9, PBOX-12, PBOX-24, PBOX-25, PBOX-26, PBOX-27, PBOX-28, PBOX-30.

10. Use of a compound having the general formula (I)

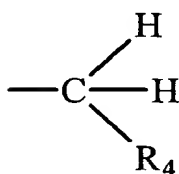


- wherein (I)  $R_1$  represents unsubstituted straight chain  $C_1-C_{10}$ , or branched  $C_1-C_{10}$  alkyl or unsubstituted  $C_3-C_{10}$  cycloalkyl; or straight chain or branched  $C_1-C_{10}$  alkyl substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or  $C_3-C_{10}$  cycloalkyl substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or unsubstituted straight chain, or branched  $C_2-C_{10}$  alkenyl or unsubstituted  $C_3-C_{10}$  cycloalkenyl; or straight chain or branched  $C_2-C_{10}$  alkenyl substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or  $C_2-C_{10}$  cycloalkenyl substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or an unsubstituted  $C_6-C_{20}$  aryl group or a  $C_6-C_{20}$  aryl group substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO, phenyl, phenoxy,  $CH_2$  phenyl, naphthyl and
- (ii) A represents N, O, S or the group  $CH_2$ ; and
- (iii) B represents O, or the group  $CH_2$ ; and
- (iv) D represents N and
- the cyclic group labelled E is taken together with D to form a pyrrole, imidazole or indole ring or a pyrrole substituted with methyl, chloryl or formyl preferably at the 2 position;
- and the group formed by E and D together is optionally substituted by one or more of the substituents F, Br, Cl, I,  $F_3C$ , MeO, EtO; and
- (v) wherein the cyclic group labelled F represents an unsubstituted  $C_6-C_{20}$  aryl group or a  $C_6-C_{20}$  aryl group substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; and wherein
- (vi) X represents a group  $C=O$ ,  $C=S$ ,  $P=O$  or  $CH_2$ ; and when X is  $P=O$ ,  $R_2$  and  $R_3$  are O-Me and/or -O-Et,
- (vii) and where Y represents the group



wherein  $R_2$  and  $R_3$  are independently hydrogen or unsubstituted straight chain  $C_1-C_{10}$ , or branched  $C_1-C_{10}$  alkyl or unsubstituted  $C_3-C_{10}$  cycloalkyl, or straight chain or branched  $C_1-C_{10}$  alkyl substituted with one or more of F, Br, Cl, I,  $F_3C$ , MeO, EtO; or

C<sub>3</sub>-C<sub>10</sub> cycloalkyl substituted with one or more of Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>n</sub> where n=1 or 2; or unsubstituted straight chain, or branched C<sub>2</sub>-C<sub>10</sub> alkenyl; or unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, or straight chain or branched C<sub>2</sub>-C<sub>10</sub> alkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>n</sub> where n=1 or 2; or C<sub>2</sub>-C<sub>10</sub> cycloalkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>)<sub>n</sub> where n=1 or 2; or R<sub>2</sub> and R<sub>3</sub> can be taken together with the nitrogen atom to which they are bonded to form a heterocycle optionally containing one or more other heteroatoms selected from O, N or S; or Y represents the group



wherein R<sub>4</sub> is C<sub>1</sub> - C<sub>13</sub> alkyl and R<sub>4</sub> is optionally substituted with F, Br, Cl, I, F<sub>3</sub>C, MeO or EtO; or

Y is unsubstituted straight chain C<sub>1</sub>-C<sub>10</sub> or branched C<sub>1</sub>-C<sub>10</sub> alkyl or unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkyl, or straight chain or branched C<sub>1</sub>-C<sub>10</sub> alkyl substituted with one or more of F, Br, Cl, I, F<sub>3</sub>C, MeO, EtO; or C<sub>3</sub>-C<sub>10</sub> cycloalkyl substituted with one or more of Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>n</sub> where n=1 or 2; or unsubstituted straight chain, or branched C<sub>2</sub>-C<sub>10</sub> alkenyl; or unsubstituted C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, or straight chain or branched C<sub>2</sub>-C<sub>10</sub> alkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>alkyl)<sub>n</sub> where n=1 or 2; or C<sub>2</sub>-C<sub>10</sub> cycloalkenyl substituted with one or more Cl, N(Me)<sub>2</sub>, Br, N(C<sub>1</sub>-C<sub>3</sub>)<sub>n</sub> where n=1 or 2 or a compound PK11195 or Ro5-4864 as defined herein in the preparation of a medicament for the treatment of tumours or other cancerous conditions.

11. Use according to claim 10 wherein R<sub>1</sub> represents straight chain or branched substituted or unsubstituted C<sub>2</sub>-C<sub>10</sub> alkyl, substituted or unsubstituted C<sub>3</sub>-C<sub>7</sub> cycloalkyl more preferably C<sub>3</sub>-C<sub>4</sub> cycloalkyl, C<sub>5</sub>-C<sub>7</sub> cycloalkenyl which may or may not be substituted, substituted or unsubstituted C<sub>6</sub>-C<sub>14</sub> aryl.

12. Use according to claim 10 or claim 11 wherein the cyclic group F represents substituted or unsubstituted C<sub>6</sub>-C<sub>14</sub> aryl group.

13. Use according to any one of claims 10 to 12 wherein Y represents a branched C<sub>2</sub>-C<sub>10</sub> alkyl group, a C<sub>3</sub>-C<sub>7</sub> cycloalkyl group, an unsubstituted or substituted C<sub>5</sub>-C<sub>7</sub> cycloalkenyl group.

14. Use of a compound of Formula I as defined in claim 1 as a pharmaceutically active substance.

15. Use of a compound selected from: PBOX-1, PBOX-2, PBOX-3, PBOX-4, PBOX-5, PBOX-6, PBOX-7, PBOX-8, PBOX-9, PBOX-10, PBOX-11, PBOX-12, PBOX-13, PBOX-14, PBOX-15, PBOX-16, PBOX-17, PBOX-18, PBOX-19, PBOX-20, PBOX-21, PBOX-22, PBOX-23, PBOX-24, PBOX-25, PBOX-26, PBOX-27, PBOX-28, PBOX-29, PBOX-30, PBOX-31, PBOX-32, PBOX-33, PBOX-34, PBOX-35, PBOX-36, PBOX-37, PBOX-38, PBOX-39, PBOX-40, PBOX-41, PBOX-42, PBOX-43, PBOX-44, PBOX-45, PBOX-46, PBOX-47, PBOX-48, PBOX-49, PBOX-50, PBOX-51, PBOX-52, PBOX-53, PBOX-54, PBOX-55, PBOX-56, PBOX-57, PBOX-58, PBOX-59, PBOX-60, PBOX-61, PBOX-62, PBOX-63, PBOX-64 as defined herein, as a pharmaceutically active substance.

16. Use of a compound selected from those having the formula: PBOX-3, 4, 5, 6, 7, 8, 9, 12, 24, 25, 26, 27, 28, and 30 in the preparation of a medicament for the treatment of tumours or other cancerous conditions.

17. Use of a compound selected from those having the formula: PBOX-3, 4, 5, 6, 7, 8, 9, 12, 24, 25, 26, 27, 28, and 30 as defined herein as a pharmaceutically active substance.

18. A method of medical treatment comprising administering a pharmaceutically effective amount of a compound selected from those having the formula: PBOX-3, 4, 5, 6, 7, 8, 9, 12, 24, 25, 26, 27, 28, and 30 as defined herein.

19. A compound selected from those having the formula: PBOX-38, 36, 59, 37, 39, 40, 41, 53, 54, 61, 14, 62, 63, 64, 55, 56, 8, 9, 57, 58, 59, 4, 6, 7, 12, 10, 11, 13, 15, 16, 17, 18, 19, 20 or 60 as defined herein.

5 Add  
A1

Add  
B1

Add  
C2

Add  
D1